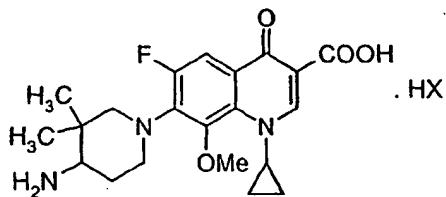


We claim:

1. A polymorph of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid hydrochloride, R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid hydrochloride, S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid hydrochloride and racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate, R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate, S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate having the formula I and II respectively



Formula I HX = HCl
Formula II HX = $\text{CH}_3\text{SO}_3\text{H}$

15

wherein said polymorph is selected from the group comprising

- a) a racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride polymorph A-3 exhibiting the following X-ray diffraction pattern
(2θ): $5.32 \pm 0.2^\circ$, $5.68 \pm 0.2^\circ$, $9.42 \pm 0.2^\circ$, $10.06 \pm 0.2^\circ$, $10.40 \pm 0.2^\circ$, $11.40 \pm 0.2^\circ$, $11.78 \pm 0.2^\circ$, $12.98 \pm 0.2^\circ$, $13.74 \pm 0.2^\circ$, $14.38 \pm 0.2^\circ$, $14.66 \pm 0.2^\circ$, $16.02 \pm 0.2^\circ$, $22.52 \pm 0.2^\circ$, $23.74 \pm 0.2^\circ$, $24.48 \pm 0.2^\circ$, $25.22 \pm 0.2^\circ$, $27.36 \pm 0.2^\circ$, $28.74 \pm 0.2^\circ$, $31.28 \pm 0.2^\circ$, $31.72 \pm 0.2^\circ$.

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- b) a R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride polymorph A-3 exhibiting the following X-ray diffraction pattern
(θ): $5.34 \pm 0.2^\circ$, $5.70 \pm 0.2^\circ$, $9.46 \pm 0.2^\circ$, $10.08 \pm 0.2^\circ$, $10.44 \pm 0.2^\circ$, $11.42 \pm 0.2^\circ$,
5 $11.82 \pm 0.2^\circ$, $12.86 \pm 0.2^\circ$, $13.62 \pm 0.2^\circ$, $14.26 \pm 0.2^\circ$, $14.72 \pm 0.2^\circ$, $16.08 \pm 0.2^\circ$,
 $22.16 \pm 0.2^\circ$, $23.68 \pm 0.2^\circ$, $24.18 \pm 0.2^\circ$, $24.86 \pm 0.2^\circ$, $25.98 \pm 0.2^\circ$, $27.04 \pm 0.2^\circ$,
 $28.84 \pm 0.2^\circ$, $31.56 \pm 0.2^\circ$, $31.84 \pm 0.2^\circ$.
- c) a S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride polymorph A-3 exhibiting the following X-ray diffraction pattern
(θ): $7.04 \pm 0.2^\circ$, $7.70 \pm 0.2^\circ$, $8.06 \pm 0.2^\circ$, $12.34 \pm 0.2^\circ$, $12.78 \pm 0.2^\circ$, $13.64 \pm 0.2^\circ$,
10 $15.40 \pm 0.2^\circ$, $16.14 \pm 0.2^\circ$, $18.62 \pm 0.2^\circ$, $19.40 \pm 0.2^\circ$, $20.64 \pm 0.2^\circ$, $21.84 \pm 0.2^\circ$,
 $23.22 \pm 0.2^\circ$, $26.80 \pm 0.2^\circ$, $27.88 \pm 0.2^\circ$, $29.86 \pm 0.2^\circ$, $32.30 \pm 0.2^\circ$, $33.36 \pm 0.2^\circ$,
15 $37.02 \pm 0.2^\circ$, $39.24 \pm 0.2^\circ$.
- d) a S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride polymorph A-4 exhibiting the following X-ray diffraction pattern
20 (θ): $5.34 \pm 0.2^\circ$, $5.68 \pm 0.2^\circ$, $9.48 \pm 0.2^\circ$, $10.08 \pm 0.2^\circ$, $10.44 \pm 0.2^\circ$, $11.42 \pm 0.2^\circ$,
 $11.84 \pm 0.2^\circ$, $12.86 \pm 0.2^\circ$, $13.62 \pm 0.2^\circ$, $14.24 \pm 0.2^\circ$, $14.74 \pm 0.2^\circ$, $16.08 \pm 0.2^\circ$,
 $22.16 \pm 0.2^\circ$, $24.14 \pm 0.2^\circ$, $24.82 \pm 0.2^\circ$, $25.94 \pm 0.2^\circ$, $27.02 \pm 0.2^\circ$, $28.84 \pm 0.2^\circ$,
 $31.82 \pm 0.2^\circ$.
- e) a racemic-(±)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate polymorph B-1 exhibiting the following X-ray diffraction pattern
25 (θ): $5.80 \pm 0.2^\circ$, $8.08 \pm 0.2^\circ$, $9.08 \pm 0.2^\circ$, $12.92 \pm 0.2^\circ$, $14.70 \pm 0.2^\circ$, $16.48 \pm 0.2^\circ$,
 $17.40 \pm 0.2^\circ$, $18.36 \pm 0.2^\circ$, $18.74 \pm 0.2^\circ$, $19.60 \pm 0.2^\circ$, $20.44 \pm 0.2^\circ$, $20.94 \pm 0.2^\circ$,
30 $21.50 \pm 0.2^\circ$, $22.80 \pm 0.2^\circ$, $23.28 \pm 0.2^\circ$, $23.84 \pm 0.2^\circ$, $24.36 \pm 0.2^\circ$, $25.50 \pm 0.2^\circ$,
 $26.00 \pm 0.2^\circ$, $26.78 \pm 0.2^\circ$, $27.24 \pm 0.2^\circ$, $29.22 \pm 0.2^\circ$, $30.66 \pm 0.2^\circ$, $37.58 \pm 0.2^\circ$.

- 5 f) a R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-
1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate polymorph B-1 exhibiting
the following X-ray diffraction pattern
(2θ): $5.74 \pm 0.2^\circ$, $8.02 \pm 0.2^\circ$, $9.02 \pm 0.2^\circ$, $12.84 \pm 0.2^\circ$, $14.74 \pm 0.2^\circ$, $16.46 \pm 0.2^\circ$,
22.80± 0.2°, 23.80 ± 0.2°, 24.28 ± 0.2°, 25.62 ± 0.2°, 26.88± 0.2°, 27.32± 0.2°,
28.20 ± 0.2°, 29.16 ± 0.2°, 30.68± 0.2°.
- 10 g) a S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-
1,4-dihydro-4-oxo-quinoline –3-carboxylic acid mesylate polymorph B-1 exhibiting
the following X-ray diffraction pattern
X-ray powder diffraction (2θ): $8.02\pm 0.2^\circ$, $12.84\pm 0.2^\circ$, $14.70\pm 0.2^\circ$, $16.44\pm 0.2^\circ$,
 $17.30\pm 0.2^\circ$, $19.56\pm 0.2^\circ$, $20.90\pm 0.2^\circ$, $21.46\pm 0.2^\circ$, $23.76\pm 0.2^\circ$, $25.56\pm 0.2^\circ$,
 $27.30\pm 0.2^\circ$, $30.66\pm 0.2^\circ$, $37.46\pm 0.2^\circ$.
- 15 h) a racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-
1-yl)-1,4-dihydro-4-oxo-quinoline –3-carboxylic acid mesylate polymorph B-2
exhibiting the following X-ray diffraction pattern
(2θ): $9.40\pm 0.2^\circ$, 9.94 , $10.74\pm 0.2^\circ$, $12.32\pm 0.2^\circ$, $12.98\pm 0.2^\circ$, $14.02\pm 0.2^\circ$, $15.72\pm$
 0.2° , $16.92\pm 0.2^\circ$, $18.84\pm 0.2^\circ$, $19.38\pm 0.2^\circ$, $20.52\pm 0.2^\circ$, $21.20\pm 0.2^\circ$, 22.80 ,
 $22.96\pm 0.2^\circ$, $24.64\pm 0.2^\circ$, $25.54\pm 0.2^\circ$, $28.38\pm 0.2^\circ$, $29.92\pm 0.2^\circ$, $30.72\pm 0.2^\circ$,
 35.92 , $37.88\pm 0.2^\circ$.
- 20 i) a R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-
1,4-dihydro-4-oxo-quinoline –3-carboxylic acid mesylate polymorph B-2 exhibiting
the following X-ray diffraction pattern
(2θ): $8.04\pm 0.2^\circ$, $9.36 \pm 0.2^\circ$, $10.06 \pm 0.2^\circ$, $10.84 \pm 0.2^\circ$, $12.24 \pm 0.2^\circ$, $12.88 \pm$
 0.2° , $13.94 \pm 0.2^\circ$, $15.26 \pm 0.2^\circ$, $15.76 \pm 0.2^\circ$, $16.82 \pm 0.2^\circ$, $17.16 \pm 0.2^\circ$, $18.78\pm$
30 0.2° , $19.62\pm 0.2^\circ$, $20.42\pm 0.2^\circ$, $21.22 \pm 0.2^\circ$, $22.30\pm 0.2^\circ$, $23.16 \pm 0.2^\circ$, $24.26 \pm$
 0.2° , $24.62 \pm 0.2^\circ$, $25.54 \pm 0.2^\circ$, $28.38 \pm 0.2^\circ$, $30.00 \pm 0.2^\circ$, $30.84 \pm 0.2^\circ$, $38.18\pm$
 0.2° .

- j) a S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate polymorph B-2 exhibiting the following X-ray diffraction pattern
(2θ): 9.38± 0.2°, 10.04± 0.2°, 12.28± 0.2°, 12.94± 0.2°, 13.98± 0.2°, 15.78± 0.2°,
5 16.86± 0.2°, 18.80± 0.2°, 19.62± 0.2°, 21.24± 0.2°, 22.32± 0.2°, 23.18± 0.2°,
24.64± 0.2°, 25.56± 0.2°, 28.44± 0.2°, 30.02± 0.2°, 30.90± 0.2°, 39.74± 0.2°.
2. The compound according to claim 1 corresponding to polymorph A-3 of racemic (±)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-
10 quinoline-3-carboxylic acid hydrochloride.
3. The compound according to claim 1 corresponding to polymorph A-3 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride.
15
4. The compound according to claim 1 corresponding to polymorph A-3 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride.
- 20 5. The compound according to claim 1 corresponding to polymorph A-4 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride.
6. The compound according to claim 1 corresponding to polymorph B-1 of racemic (±)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-
25 quinoline-3-carboxylic acid mesylate.
7. The compound according to claim 1 corresponding to polymorph B-1 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate.
30
8. The compound according to claim 1 corresponding to polymorph B-1 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate.

9. The compound according to claim 1 corresponding to polymorph B-2 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate.
- 5 10. The compound according to claim 1 corresponding to polymorph B-2 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate.
- 10 11. The compound according to claim 1 corresponding to polymorph B-2 of S(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate.
- 15 12. A process for preparing polymorph A-3 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride exhibiting the X-ray diffraction pattern
(20): 5.32 \pm 0.2°, 5.68 \pm 0.2°, 9.42 \pm 0.2°, 10.06 \pm 0.2°, 10.40 \pm 0.2°, 11.40 \pm 0.2°,
11.78 \pm 0.2°, 12.98 \pm 0.2°, 13.74 \pm 0.2°, 14.38 \pm 0.2°, 14.66 \pm 0.2°, 16.02 \pm 0.2°,
22.52 \pm 0.2°, 23.74 \pm 0.2°, 24.48 \pm 0.2°, 25.22 \pm 0.2°, 27.36 \pm 0.2°, 28.74 \pm 0.2°,
31.28 \pm 0.2°, 31.72 \pm 0.2°.
- 20 which process comprises the steps of
a) drying polymorphic A-1 form of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride at an elevated temperature, preferably 130°C upto 150°C, optionally under reduced pressure sufficient to effect transformation to polymorphic form A-3; and
25 b) recovering the polymorphic form A-3 as a crystalline solid.
13. A process for preparing polymorph A-3 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride, exhibiting the X-ray diffraction pattern
(20): 5.32 \pm 0.2°, 5.68 \pm 0.2°, 9.42 \pm 0.2°, 10.06 \pm 0.2°, 10.40 \pm 0.2°, 11.40 \pm 0.2°,
11.78 \pm 0.2°, 12.98 \pm 0.2°, 13.74 \pm 0.2°, 14.38 \pm 0.2°, 14.66 \pm 0.2°, 16.02 \pm 0.2°,
22.52 \pm 0.2°, 23.74 \pm 0.2°, 24.48 \pm 0.2°, 25.22 \pm 0.2°, 27.36 \pm 0.2°, 28.74 \pm 0.2°,
31.28 \pm 0.2°, 31.72 \pm 0.2°.

which process comprises the steps of :

- a) drying polymorphic A-2 form of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride at an elevated temperature, preferably 130°C upto 150°C,
5 optionally under reduced pressure sufficient to effect transformation to polymorphic form A-3; and
- b) recovering the polymorphic form A-3 as a crystalline solid.

14. A process for preparing polymorph A-3 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride exhibiting the X-ray diffraction pattern

(20): 5.34 \pm 0.2°, 5.70 \pm 0.2°, 9.46 \pm 0.2°, 10.08 \pm 0.2°, 10.44 \pm 0.2°, 11.42 \pm 0.2°,
10 11.82 \pm 0.2°, 12.86 \pm 0.2°, 13.62 \pm 0.2°, 14.26 \pm 0.2°, 14.72 \pm 0.2°, 16.08 \pm 0.2°,
15 22.16 \pm 0.2°, 23.68 \pm 0.2°, 24.18 \pm 0.2°, 24.86 \pm 0.2°, 25.98 \pm 0.2°, 27.04 \pm 0.2°,
28.84 \pm 0.2°, 31.56 \pm 0.2°, 31.84 \pm 0.2°.

which process comprises the steps of

- a. drying polymorphic A-1 form of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride at an elevated temperature, preferably 130°C upto 150°C, optionally under reduced pressure sufficient to effect transformation to polymorphic form A-3; and
- b. recovering the polymorphic form A-3 as a crystalline solid.

15. A process for preparing polymorph A-3 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride exhibiting the X-ray diffraction pattern

(20): 5.34 \pm 0.2°, 5.70 \pm 0.2°, 9.46 \pm 0.2°, 10.08 \pm 0.2°, 10.44 \pm 0.2°, 11.42 \pm 0.2°,
25 11.82 \pm 0.2°, 12.86 \pm 0.2°, 13.62 \pm 0.2°, 14.26 \pm 0.2°, 14.72 \pm 0.2°, 16.08 \pm 0.2°,
22.16 \pm 0.2°, 23.68 \pm 0.2°, 24.18 \pm 0.2°, 24.86 \pm 0.2°, 25.98 \pm 0.2°, 27.04 \pm 0.2°,
30 28.84 \pm 0.2°, 31.56 \pm 0.2°, 31.84 \pm 0.2°.

which process comprises the steps of

- a) drying polymorphic A-2 form of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride at an elevated temperature, preferably 130°C upto 150°C,

optionally under reduced pressure sufficient to effect transformation to polymorphic form A-3; and

b) recovering the polymorphic form A-3 as a crystalline solid.

- 5 16. A process for preparing polymorph A-4 of S-(*-*)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride, exhibiting the X-ray diffraction pattern
(2θ): 5.34 ± 0.2°, 5.68 ± 0.2°, 9.48 ± 0.2°, 10.08 ± 0.2°, 10.44 ± 0.2°, 11.42 ± 0.2°, 11.84 ± 0.2°, 12.86 ± 0.2°, 13.62 ± 0.2°, 14.24 ± 0.2°, 14.74 ± 0.2°, 16.08 ± 0.2°, 22.16 ± 0.2°,
10 24.14 ± 0.2°, 24.82 ± 0.2°, 25.94 ± 0.2°, 27.02 ± 0.2°, 28.84 ± 0.2°, 31.82 ± 0.2°.

which process comprises the steps of:

- a) drying polymorphic A-3 form of S-(*-*)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride at an elevated temperature, preferably 130°C upto 150°C,
15 optionally under reduced pressure sufficient to effect transformation to polymorphic form A-4; and
- b) recovering the polymorphic form A-4 as a crystalline solid.

- 20 17. A process for preparing polymorph A-3 of S-(*-*)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride exhibiting the X-ray diffraction pattern
(2θ): 7.04± 0.2°, 7.70± 0.2°, 8.06± 0.2°, 12.34± 0.2°, 12.78± 0.2°, 13.64± 0.2°, 15.40± 0.2°, 16.14± 0.2°, 18.62± 0.2°, 19.40± 0.2°, 20.64± 0.2°, 21.84± 0.2°, 23.22± 0.2°, 26.80± 0.2°, 27.88± 0.2°, 29.86± 0.2°, 32.30± 0.2°, 33.36± 0.2°, 37.02± 0.2°, 39.24± 0.2°.

25 which process comprises the steps of

- a) suspending or dissolving polymorphic form A-1 of S-(*-*)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride in water, if necessary by heating;
b) stirring the mixture to form a suspension or a solution followed by adding a water-miscible organic solvent;
30 c) recovering the polymorphic form A-3 as a crystal upon cooling the solution and filtering; and
d) drying resultant crystals to constant weight to provide the polymorph A-3.

18. A process for preparing polymorph A-3 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride exhibiting the X-ray diffraction pattern

(20): 7.04± 0.2°, 7.70± 0.2°, 8.06± 0.2°, 12.34± 0.2°, 12.78± 0.2°, 13.64± 0.2°, 15.40± 0.2°, 16.14± 0.2°, 18.62± 0.2°, 19.40± 0.2°, 20.64± 0.2°, 21.84± 0.2°, 23.22± 0.2°, 26.80± 0.2°, 27.88± 0.2°, 29.86± 0.2°, 32.30± 0.2°, 33.36± 0.2°, 37.02± 0.2°, 39.24± 0.2°.

which process comprises the steps of:

- a) suspending or dissolving polymorphic form A-2 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride in water, if necessary by heating;
- b) adding a water-miscible organic solvent and stirring resulting mixture for a sufficient period of time to effect the transformation completely to polymorphic form A-3;
- c) recovering the polymorphic form A-3 as a crystal upon cooling the solution and filtering; and
- d) drying the resultant crystals to a constant weight to yield the product A-3..

19. A process for preparing polymorph A-3 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride, from said polymorphs A-1 or A-2 or A-4 which process comprises

- a) suspending or dissolving polymorphic form A-1 or A-2 or A-4 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid hydrochloride in water, if necessary by heating;
- b) stirring the mixture at that temperature to form a suspension or a solution followed by adding a water-miscible organic solvent;
- c) recovering the polymorphic form A-3 as a crystal upon cooling the solution and filtering;
- d) drying the resultant crystals to a constant weight to yield the product of the invention.

20. A process for preparing polymorph B-1 of racemic (±)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate, which comprises

- a) suspending or dissolving racemic (\pm) -1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid in a suitable organic solvent to form a suspension/solution;
- b) heating the suspension/solution and adding methane sulfonic acid at the elevated temperature;
- c) heating the reaction mixture at elevated temperature sufficient to effect transformation to the mesylate polymorphic form B-1;
- d) recovering the polymorphic form B-1 as a crystal upon cooling the solution and filtering;
- 10 e) drying crystals to a constant weight to yield the polymorph B-1 of the invention.

21. A process for preparing polymorph B-1 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate, which comprises

- a) suspending or dissolving R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid in a suitable organic solvent to form a suspension/solution;
- b) heating the suspension/solution and adding methane sulfonic acid at the elevated temperature;
- c) heating the reaction mixture at elevated temperature sufficient to effect transformation to the mesylate polymorphic form B-1;
- d) recovering the polymorphic form B-1 as a crystal upon cooling the solution and filtering;
- 20 e) drying crystals to a constant weight to yield the polymorph B-1 of the invention.

25 22. A process for preparing polymorph B-1 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate, which comprises

- a) suspending or dissolving S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid in a suitable organic solvent to form a suspension/solution;
- b) heating the suspension/solution and adding methane sulfonic acid at the elevated temperature;

- c) heating the reaction mixture at elevated temperature sufficient to effect transformation to the mesylate polymorphic form B-1;
- d) recovering the polymorphic form B-1 as a crystal upon cooling the solution and filtering;
- 5 e) drying crystals to a constant weight to yield the polymorph B-1 of the invention.

23. A process for preparing polymorph B-2 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate, which comprises

- 10 a) dissolving crystalline polymorphic form B-1 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate in water by heating to form a solution;
- b) cooling the solution and adding an aqueous-miscible organic solvent;
- c) allowing the reaction mixture to stand for a sufficient time to effect transformation
- 15 to polymorphic form B-2,
- d) recovering the polymorphic form B-2 as a crystal upon cooling and filtering;
- e) drying resultant crystals to a constant weight to yield the polymorph B-2 of the invention.

20 24. A process for preparing polymorph B-2 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate, which comprises

- 25 a) dissolving crystalline polymorphic form B-1 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate in water by heating to form a solution;
- b) cooling the solution and adding an aqueous-miscible organic solvent;
- c) allowing the reaction mixture to stand for a sufficient time to effect transformation
- 30 to polymorphic form B-2,
- d) recovering the polymorphic form B-2 as a crystal upon cooling and filtering;
- e) drying resultant crystals to a constant weight to yield the polymorph B-2 of the invention.

- f) A process for preparing polymorph B-2 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate, which comprises
- 5 g) dissolving crystalline polymorphic form B-1 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline-3-carboxylic acid mesylate in water by heating to form a solution;
- h) cooling the solution and adding an aqueous-miscible organic solvent;
- i) allowing the reaction mixture to stand for a sufficient time to effect transformation to polymorphic form B-2,
- 10 j) recovering the polymorphic form B-2 as a crystal upon cooling and filtering;
- k) drying resultant crystals to a constant weight to yield the polymorph B-2 of the invention.

25. A method for treating bacterial infection in a mammal which comprises administering to
15 said mammal an effective amount of the compound of claim 1.

- 20 26. The method of claim 25 wherein said compound is polymorph A-3 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid hydrochloride.
27. The method of claim 25 wherein said compound is polymorph A-3 of R- (+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid hydrochloride.
- 25 28. The method of claim 25 wherein said compound is polymorph A-3 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid hydrochloride.

29. The method of claim 25 wherein said compound is polymorph A-4 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid hydrochloride.

30. The method of claim 25 wherein said compound is polymorph B-1 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate.
- 5 31. The method of claim 25 wherein said compound is polymorph B-1 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate.
- 10 32. The method of claim 25 wherein said compound is polymorph B-1 of S(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate.
- 15 33. The method of claim 25 wherein said compound is polymorph B-2 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate.
- 20 34. The method of claim 25 wherein said compound is polymorph B-2 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate.
35. The method of claim 25 wherein said compound is polymorph B-2 of S(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate.
- 25 36. A pharmaceutical composition for treating bacterial infection in a mammal comprising an effective amount of the compound of claim 1 and a pharmaceutically acceptable carrier.
37. The composition of claim 36 wherein said compound is polymorph A-3 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-30 quinoline -3-carboxylic acid hydrochloride.
38. The composition of claim 36 wherein said compound is polymorph A-3 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid hydrochloride.

39. The composition of claim 36 wherein said compound is polymorph A-3 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid hydrochloride.
- 5 40. The composition of claim 36 wherein said compound is polymorph A-4 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid hydrochloride.
- 10 41. The composition of claim 36 wherein said compound is polymorph B-1 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate.
- 15 42. The composition of claim 36 wherein said compound is polymorph B-1 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate.
- 20 43. The composition of claim 36 wherein said compound is polymorph B-1 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate.
- 25 44. The composition of claim 36 wherein said compound is polymorph B-2 of racemic (\pm)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate.
- 30 45. The composition of claim 36 wherein said compound is polymorph B-2 of R-(+)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate.
- 35 46. The composition of claim 36 wherein said compound is polymorph B-2 of S-(-)-1-cyclopropyl-6-fluoro-8-methoxy-7-(4-amino-3,3-dimethylpiperidin-1-yl)-1,4-dihydro-4-oxo-quinoline -3-carboxylic acid mesylate. 47. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 36.

47. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 37.
- 5 48. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 38.
49. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 39.
- 10 50. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 40.
51. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 41.
- 15 52. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 42.
53. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 43.
- 20 54. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to claim 44.
- 25 55. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 45.
56. A method for treating bacterial infection in a mammal which comprises administering to said mammal an effective amount of a composition according to 46.

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